

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau



P

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : C07K 7/56, A61K 38/12	A1	(11) International Publication Number: WO 00/12540 (43) International Publication Date: 9 March 2000 (09.03.00)
(21) International Application Number: <u>PCT/US99/19066</u> (22) International Filing Date: 18 August 1999 (18.08.99) (30) Priority Data: 60/098,267 28 August 1998 (28.08.98) US (71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): MOSER, Brian, Allen [US/US]; 751 West Hanna Avenue, Indianapolis, IN 46217 (US). BAKER, Jeffrey, Clayton [US/US]; 4430 North Pennsylvania Avenue, Indianapolis, IN 46205 (US). (74) Agents: LEHNHARDT, Susan, K. et al.; Morrison & Foerster LLP, 1290 Avenue of the Americas, New York, NY 10104-0012 (US).		(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
(54) Title: REVERSIBLE BORONATE COMPLEXES OF 1,2-CIS-DIOL CYCLIC-PEPTIDES (57) Abstract <p><i>the compound is more soluble in water than the compound as such and increases its water solubility</i></p> <p>Reversible borate or boronate complexes of 1,2-<i>cis</i>-diol cyclic-peptides and their use as a means for purification, isolation, stabilization and/or water solubilization of their respective parent 1,2-<i>cis</i>-diol cyclic-peptide is described. A method for forming the boronate adducts is also described. The method is particularly useful for forming boronate adducts of hydrophobic Echinocandin compounds to increase their water solubility. Pharmaceutical formulations and treatments based on the reversible borate or boronate complexes of active 1,2-<i>cis</i>-diol cyclic-peptides (e.g., Echinocandin antifungal compounds) are also described.</p>		